

Fig. 1

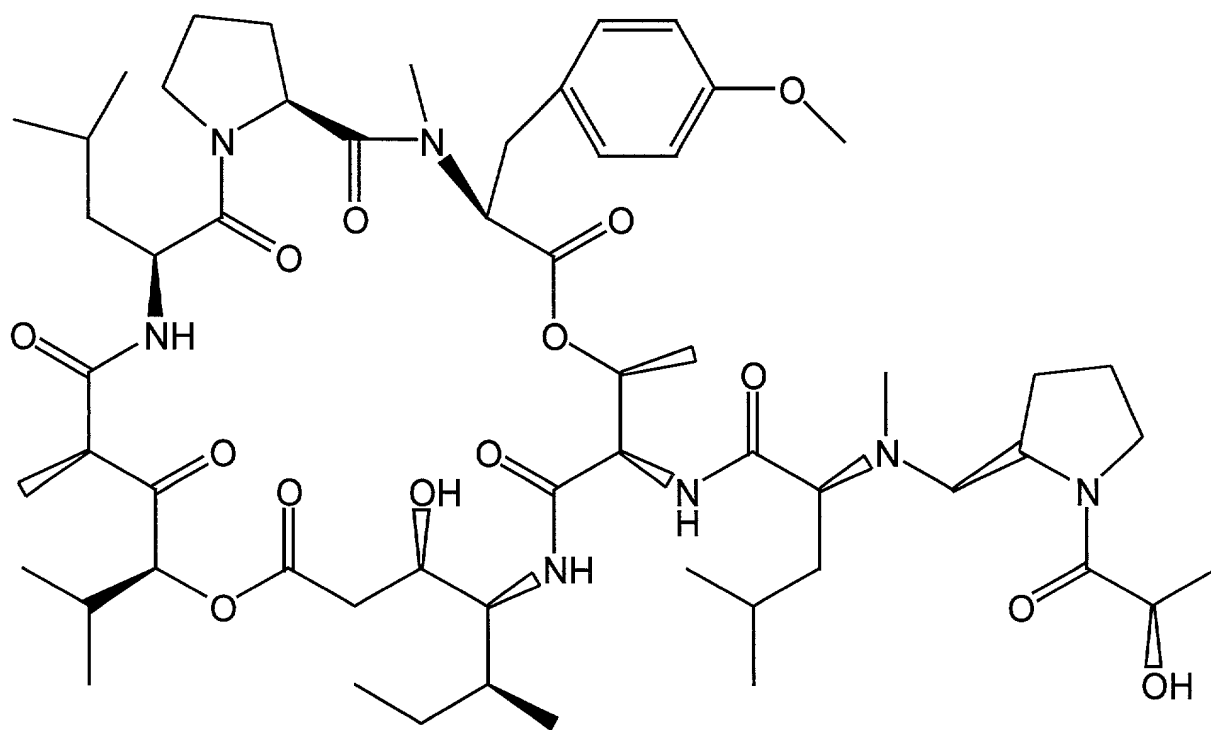
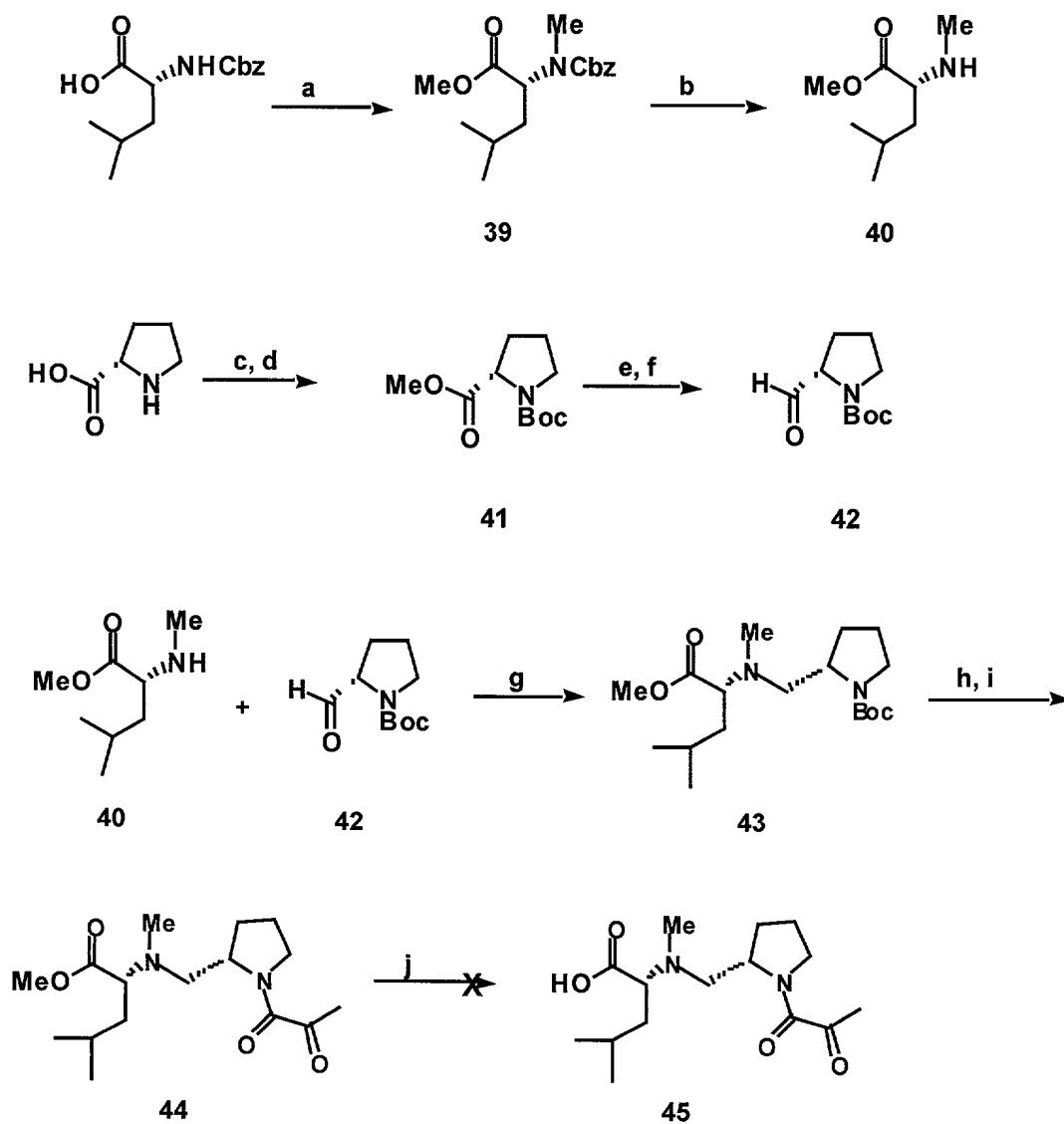
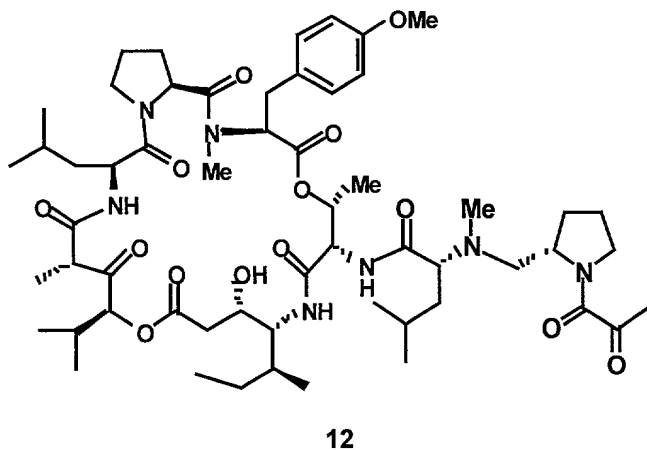
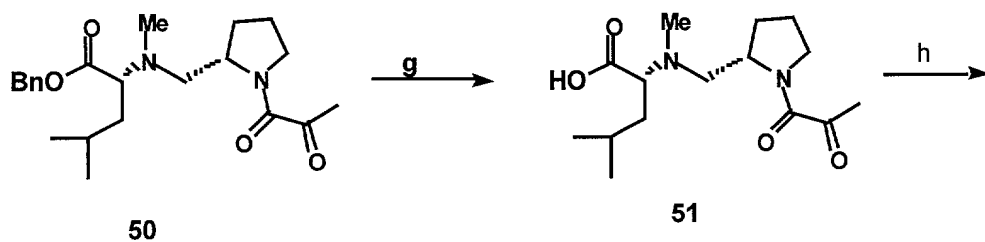
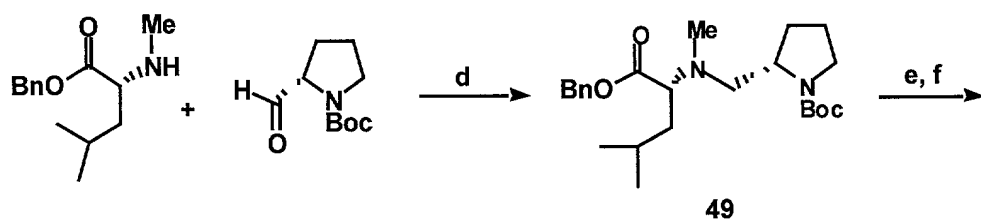
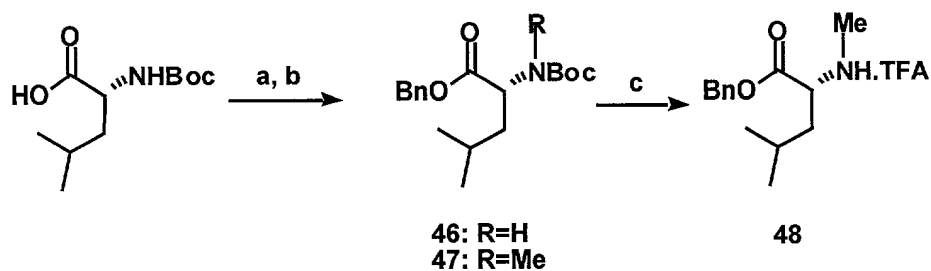


Fig. 2



a.  $\text{Me}_2\text{SO}_4$ , KOH,  $\text{Bu}_4\text{N}^+\text{HSO}_4^-$ , THF, 78%; b.  $\text{H}_2$ , Pd/C, 99%; c. MeOH,  $\text{SOCl}_2$ , 95%; d.  $\text{Boc}_2\text{O}$ ,  $\text{Et}_3\text{N}$ ,  $\text{CH}_2\text{Cl}_2$ , 85%; e.  $\text{NaBH}_4$ , LiCl, THF/EtOH, 85%; f.  $\text{SO}_3$ .Pyr complex, DMSO,  $\text{Et}_3\text{N}$ ,  $\text{CH}_2\text{Cl}_2$ ; g.  $\text{Na}(\text{AcO})_3\text{BH}$ , AcOH,  $\text{CH}_2\text{Cl}_2$ , 88%; h. HCl in dioxane, 90%; i. pyruvic acid BOP, NMM,  $\text{CH}_2\text{Cl}_2$ , 70%; j.  $\text{LiOH} \cdot \text{H}_2\text{O}$ , THF/ $\text{H}_2\text{O}$

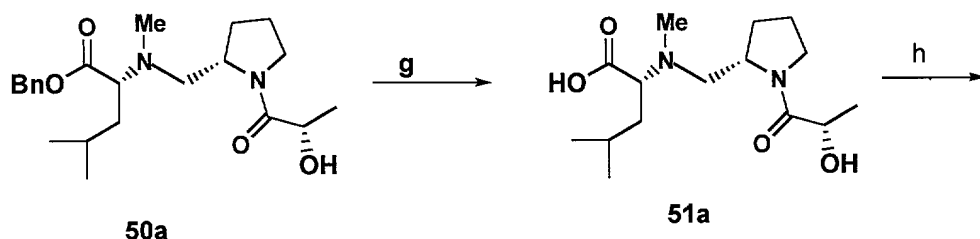
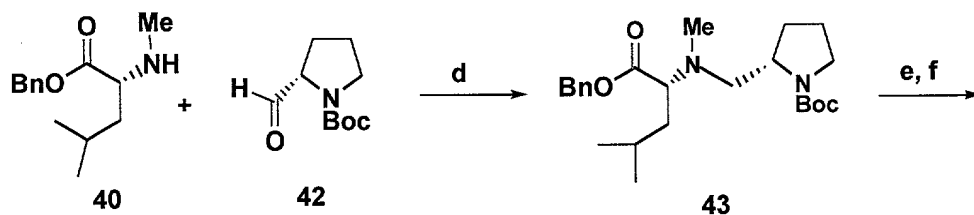
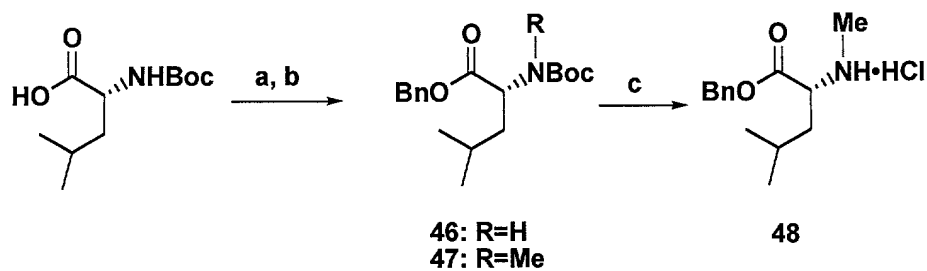
Fig. 3



a.  $\text{Li}_2\text{CO}_3$ , BnBr, DMF, 85%; b. MeI, NaHMDS,  $\text{CH}_2\text{Cl}_2$  78%; c. TFA/ $\text{CH}_2\text{Cl}_2$ , 90%  
d.  $\text{Na}(\text{AcO})_3\text{BH}$ , AcOH,  $\text{CH}_2\text{Cl}_2$ , 88%; e. HCl in dioxane, 90%; f. pyruvic acid, BOP, NMM,  $\text{CH}_2\text{Cl}_2$ , 70%; g.  $\text{H}_2$ , Pd/C, 99%; h. didemninn macrocycle salt, DIEA, HATU,  $\text{CH}_2\text{Cl}_2$ , 72%

Fig. 4

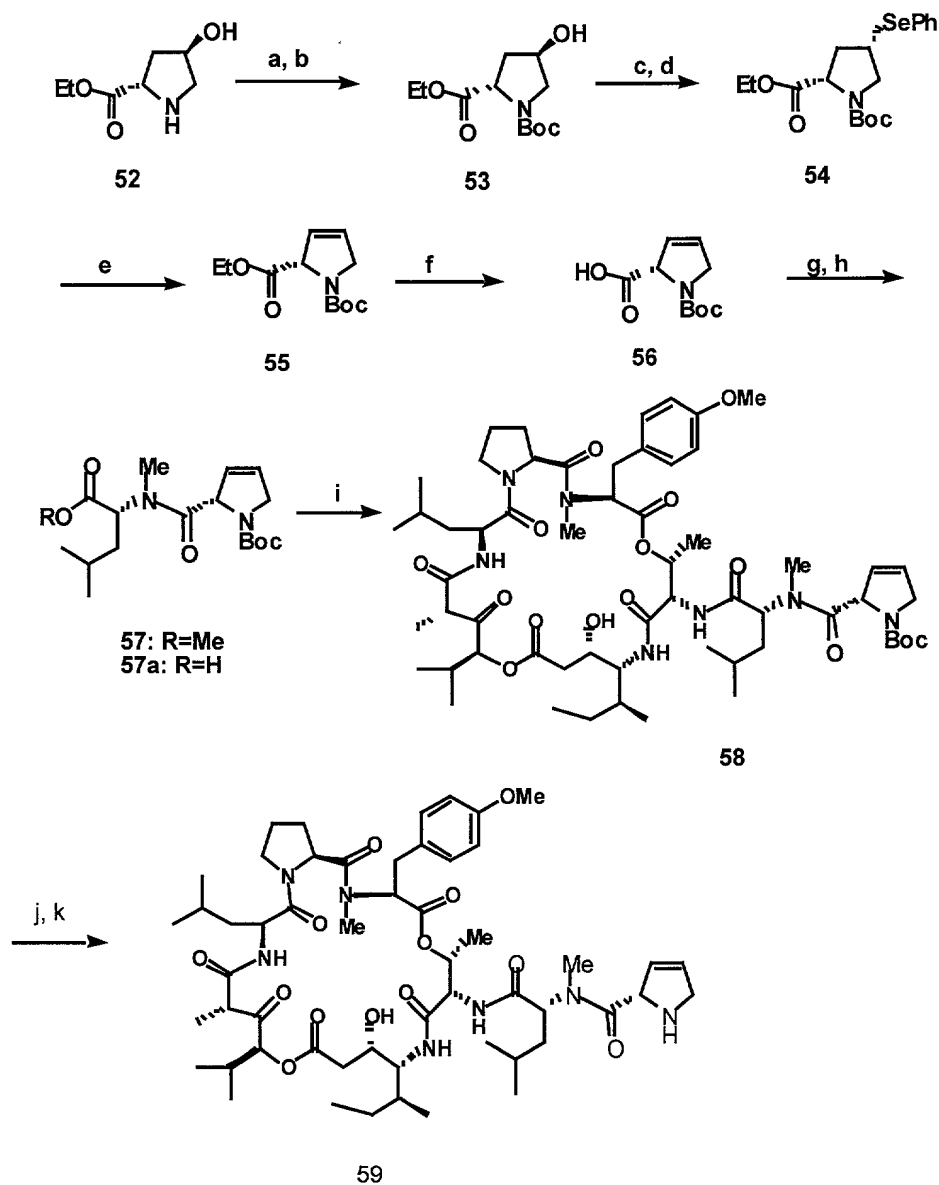
4/6



a.  $\text{Li}_2\text{CO}_3$ , BnBr, DMF, 85%; b. MeI, NaHMDS,  $\text{CH}_2\text{Cl}_2$  78%; c. HCl in dioxane, 98%  
 d.  $\text{Na}(\text{AcO})_3\text{BH}$ , AcOH,  $\text{CH}_2\text{Cl}_2$ , 88%; e. HCl in dioxane, 98%; f. lactic acid, BOP, NMM,  $\text{CH}_2\text{Cl}_2$ , 61%; g.  $\text{H}_2$ , Pd/C; h. didemninn macrocycle salt, DIEA, HATU,  $\text{CH}_2\text{Cl}_2$ , 72%

**Fig. 5**

5/6



a. EtOH, SOCl<sub>2</sub>, 95%; b. Boc<sub>2</sub>O, Et<sub>3</sub>N, CH<sub>2</sub>Cl<sub>2</sub>, 75%; c. MsCl, pyr., CH<sub>2</sub>Cl<sub>2</sub>, 86%; d. Se<sub>2</sub>Ph<sub>2</sub>, NaBH<sub>4</sub>, EtOH, 86%; e. Pyr., H<sub>2</sub>O<sub>2</sub>, CH<sub>2</sub>Cl<sub>2</sub>, 82%; f. LiOH.H<sub>2</sub>O, THF/H<sub>2</sub>O, 95%; g. *N*-Me-D-Leucine methyl ester, BOP, NMM, CH<sub>2</sub>Cl<sub>2</sub>, 75%; h. HCl.dioxane; i. DB macrocycle salt, DIEA, HATU, CH<sub>2</sub>Cl<sub>2</sub>, 72%; j. HCl gas; k. NaHCO<sub>3</sub>, ethyl acetate

Fig. 6

